



PATENT

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| Applicants: | Anthony et al. | |
| Serial No.: | 09/973,853 | Case No.: 20757Y |
| Filed: | October 10, 2001 | |
| For: | AZA- AND POLYAZA-NAPHTHALENYL CARBOXAMIDES USEFUL AS INTEGRASE INHIBITORS | |

Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

INFORMATION DISCLOSURE STATEMENT

Sir:

Applicants submit the following information which they believe may be pertinent to the examination of this application and in respect of which there may be a duty to disclose in accordance with 37 C.F.R. § 1.56:

PART A

Before the filing date of the instant application, the Istituto di Ricerche di Biologia Molecolare P. Angeletti S.P.A. (IRBM) located in Italy disclosed in confidence to certain Merck employees including one or more of the applicants of the instant application certain 5,6-dihydroxypyrimidine-4-carboxamides and suggested that these compounds might be

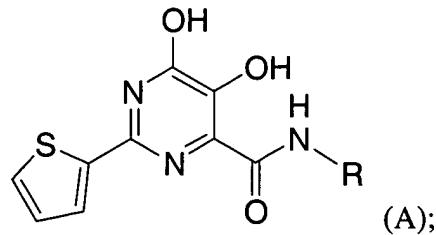
CERTIFICATE OF MAILING

I HEREBY CERTIFY THAT THIS CORRESPONDENCE IS BEING DEPOSITED WITH THE UNITED STATES POSTAL SERVICE AS FIRST CLASS MAIL IN AN ENVELOPE ADDRESSED TO: COMMISSIONER FOR PATENTS, P.O. BOX 1450, ALEXANDRIA, VA 22313-1450, ON THE DATE APPEARING BELOW.

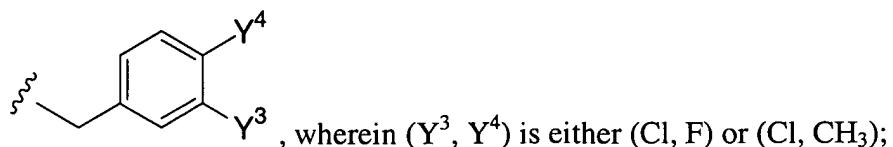
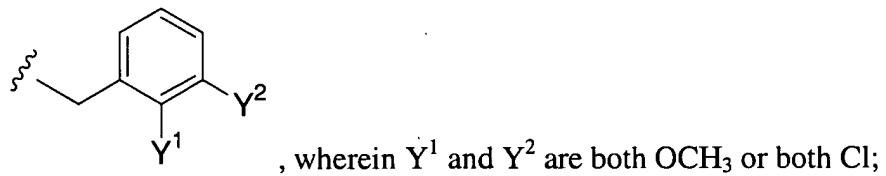
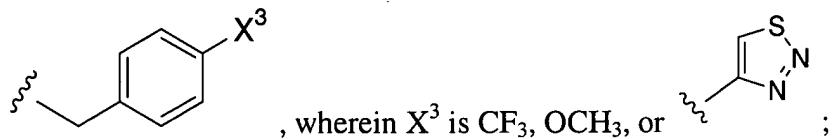
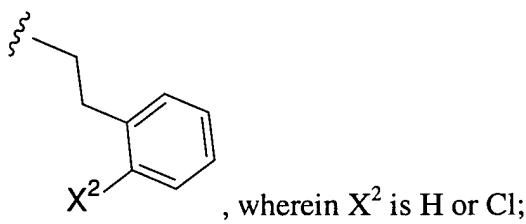
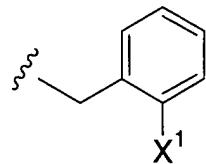
MERCK & CO., INC.

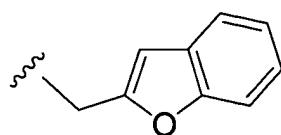
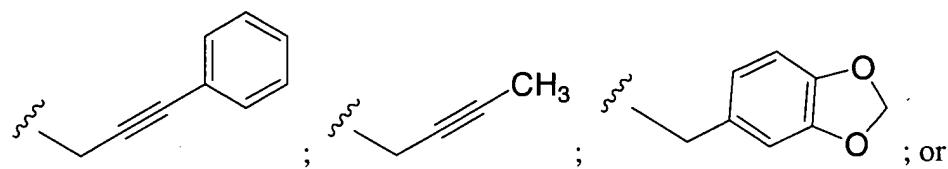
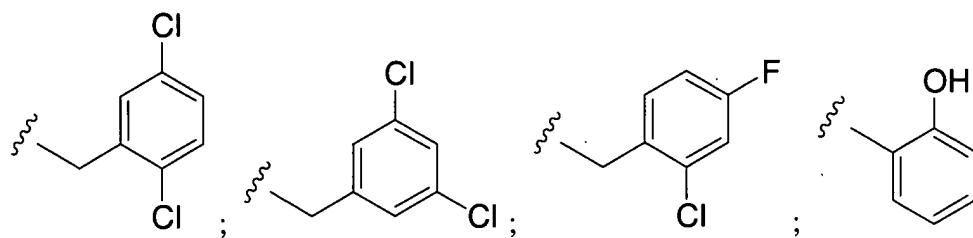
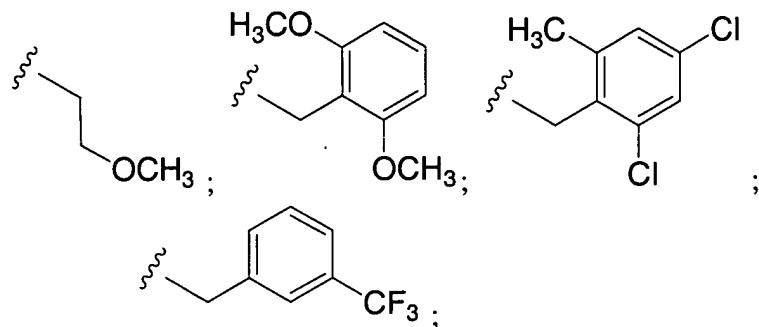
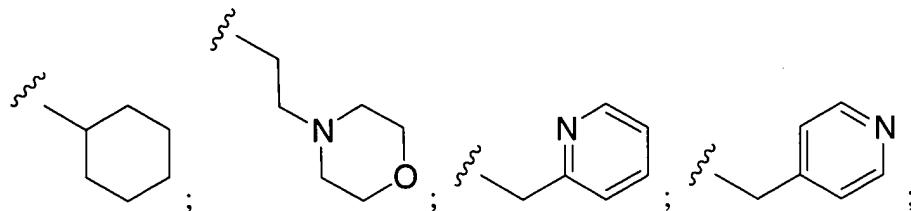
BY Christie Dona DATE: 7/15/03

active as HIV integrase inhibitors. Representative of the earliest compounds disclosed by IRBM are 2-thienyl-substituted compounds of Formula A:



wherein R is





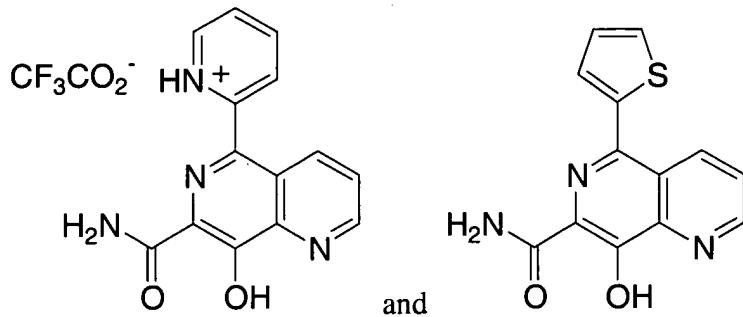
IRBM conducted further research on the dihydroxypyrimidine carboxamide series of compounds which led to the filing of patent applications WO 03/35076 and WO 03/35077, each of which is cited in a Form 1449 accompanying this statement (see Part B below). IRBM periodically communicated in confidence to certain Merck employees including one or more of

the applicants of the instant application on the progress of their work leading up to these patent filings, and accordingly many, though not all, of the compounds described in WO 03/35076 and WO 03/35077 were known to the applicants before the filing date of the instant application.

Before the filing date of the instant application all of the compounds of Formula A specified above and many, though not all, of the compounds described in WO 03/35076 and WO 03/35077 were tested for HIV integrase inhibition activity at Merck in the United States after their preparation in Italy.

IRBM also disclosed in confidence before the filing date of the instant application certain dihydroxypyrimidine carboxylic acids as viral polymerase inhibitors, especially as inhibitors of the hepatitis C virus polymerase enzyme. These compounds are described in WO 02/06246, which is cited in the accompanying Form 1449 (see Part B below). Many of these compounds were also tested for HIV integrase inhibiting activity at Merck in the United States before the filing date of the instant application.

IRBM also prepared the following two naphthyridine compounds before the filing date of the instant application:



The preparation of these compounds was communicated in confidence to certain Merck employees including one or more of the applicants of the instant application before its filing date. These compounds were tested in Italy against HCV polymerase and tested against HIV integrase at Merck in the United States before the filing date of the instant application.

The subject matter developed and disclosed by IRBM and the invention claimed in the instant application were not owned by the same person or subject to an obligation of assignment to the same person at the time the invention was made.

PART B

In compliance with 37 C.F.R. 1.97, submitted herewith on the attached Form 1449 are citations to the following patent documents: US 6262055, US 6306891, US 6380249, WO

02/06246, WO 01/00578, WO 03/35076, and WO 03/35077. Copies of these documents are enclosed herewith.

PART C

U.S. Application Serial No. 10/260,029, filed June 6, 2003, is also brought to the Examiner's attention. This pending application corresponds to WO 01/00578 listed above. A copy of USSN 10/260,029 is enclosed herewith.

PART D

The subject matter disclosed and claimed in US 6306891, US 6380249, and USSN 10/260,029 was communicated in confidence to the applicants of the instant application before the filing date of the instant application. Subject matter contained in the above-cited US patents and applications and the invention claimed in the instant application were not owned by the same person or subject to an obligation of assignment to the same person at the time the invention was made.

The submissions in Parts A, B, C and D are not to be construed as admissions that any of the submitted information is "prior art" with respect to the claimed invention. In accordance with 37 CFR 1.97(g), the filing of this Information Disclosure Statement shall not be construed to mean that a search has been made. In addition, in accordance with 37 C.F.R. 1.97(h), the filing of this Information Disclosure Statement shall not be construed to be an admission that the information disclosed is, or is considered to be, material to patentability as defined in 37 C.F.R. 1.56(b).

It is requested that the Examiner consider the information set forth in Parts A, B, C and D and make it of record. It is further requested that the Examiner return an initialed copy of the attached form 1449 with the next communication to Applicants to signify that the statement has been considered and made of record.

This statement is being submitted more than three months after the filing of the instant application but before the mailing of the first Office Action on the merits. Accordingly, no fee is believed to be due.

Respectfully submitted,

By:

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Date: July 14, 2003